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DOCUMENT NUMBER: 143:319184

TITLE: Switchable nonimmunosuppressant cyclosporin

derivatives, their preparation, pharmaceutical compositions containing them, and their therapeutic use

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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: DE 2004-102004011988A 20040311

OTHER SOURCE(S): MARPAT 143:319184

AB The invention discloses cyclosporin-series cyclic peptides whose ability to inhibit the protein phosphatase calcineurin and thus can act immunosuppressively can be switched off. Through modification of the hydroxyl group of [D-Ser]8 cyclosporin, the immunosuppressive effect of such cyclosporin derivs. can be turned off by means of suitable activatable substituents. Because therapeutically used dosages of cyclosporin A possess numerous undesired side effects, the value of invention consists in the fact that the immunosuppressive activity of the available cyclosporin derivs. can be turned off. The cyclosporin derivs. of the invention can also be therapeutically used with the underivatized compound. With the therapeutic application of the inventive switchable cyclosporin derivs., their effectiveness can be influenced during therapy.

IT 849330-70-7P

RL: FMU (Formation, unclassified); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

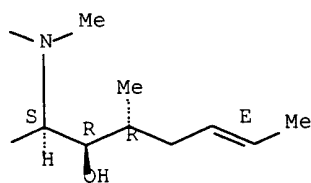
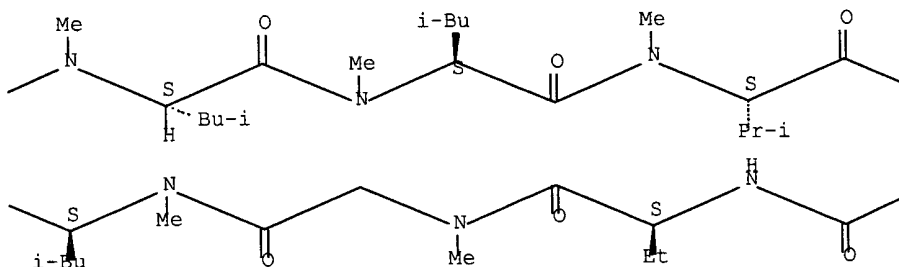
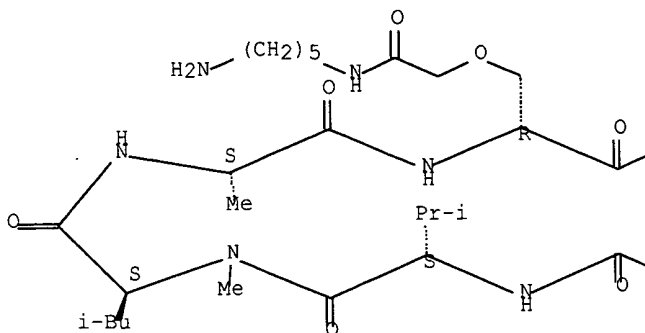
(switchable nonimmunosuppressant cyclosporin derivs., preparation, pharmaceutical compns., and therapeutic use)

RN 849330-70-7 HCAPLUS

CN Cyclosporin A, 2-[O-[2-[(5-aminopentyl)amino]-2-oxoethyl]-D-serine]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT **164028-68-6P 849330-72-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP** (Preparation); RACT (Reactant or reagent); USES (Uses)

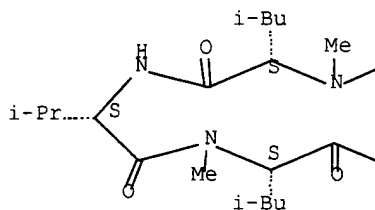
(switchable nonimmunosuppressant cyclosporin derivs., preparation, pharmaceutical compns., and therapeutic use)

RN 164028-68-6 HCAPLUS

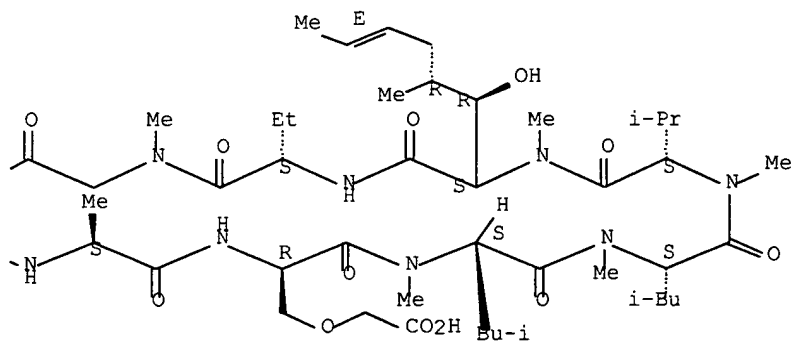
CN Cyclosporin A, 2-[O-(carboxymethyl)-D-serine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

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PAGE 1-B



RN 849330-72-9 HCAPLUS
CN Cyclosporin A, 2-[O-[2-[5-[[[(4,5-dimethoxy-2-nitrophenyl)methoxy]carbonyl]amino]pentyl]amino]-2-oxoethyl]-D-serine]-(9CI) (CA INDEX NAME)

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